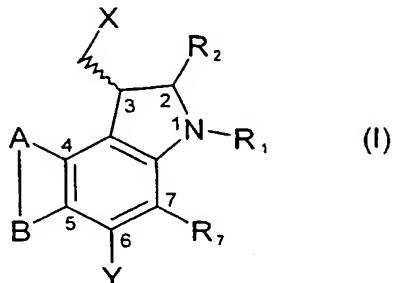


CLAIMS

1. A compound of formula I:



wherein:

X is an electrophilic leaving group;

Y is selected from NH-Prot, O-Prot, S-Prot, NO₂, NHOH, N₃, NHR, NRR, N=NR, N(O)RR, NHSO₂R, N=NPhR, SR or SSR, where Prot represents a protecting group;

A and B collectively represent a fused benzene or pyrrole ring (in either orientation), which is optionally substituted by up to respectively 4 or 2 groups independently selected from R, OH, OR, halo, nitro, amino, Me₃Sn, CO₂H, CO₂R;

R_1 is a nitrogen protecting group, where if Y includes a protecting group, these protecting groups are orthogonal;

R_2 and R_7 are independently selected from H, R, OH, OR, halo, nitro, amino, Me_3Sn ;

wherein R is selected from:

(a) a lower alkyl group having 1 to 10 carbon atoms,

(b) an aralkyl group (i.e. an alkyl group with one or more aryl substituents), preferably of up to 12 carbon atoms;

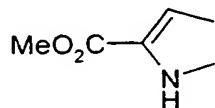
the alkyl group of (a) or (b) optionally containing one or more carbon-carbon double or triple bonds, which may form part of a conjugated system; and (c) an aryl group, preferably of up to 12 carbon atoms;

and wherein:

R is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally

contains one or more hetero atoms, which may form part of, or be, a functional group;

5 except that when R₁ is Boc, Y is NO₂, X is Cl, and R₂ and R₇ are H, then A and B do not collectively represent either an unsubstituted benzene ring or:



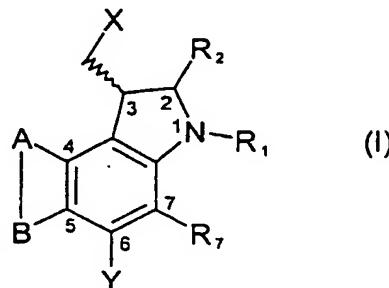
10

2. A compound according to claim 1, wherein R is independently selected from a lower alkyl group having 1 to 10 carbon atoms, or an aralkyl group, preferably of up to 12 carbon atoms, or an aryl group, preferably of up to 12 carbon atoms, optionally substituted by one or more halo, hydroxy, amino, or nitro groups.
3. A compound according to claim 2, wherein R is independently selected from lower alkyl groups having 1 to 10 carbon atoms optionally substituted by one or more halo, hydroxy, amino, or nitro groups.
4. A compound according to claim 3, wherein R is an unsubstituted straight or branched chain alkyl group, having 1 to 10 carbon atoms.
5. A compound according to any one of the preceding claims, wherein R₁ has a carbamate functionality where it binds to the nitrogen atom of the CPI.
- 30 6. A compound according to any one of the preceding claims, wherein Y is NH-Prot, O-Prot or S-Prot.
7. A compound according to claim 6, wherein Y is NH-Prot.
- 35 8. A compound according to any one of the preceding claims, wherein X is either halogen or OSO₂R.

ART 34 AMDR

CLAIMS

1. A [compound] of formula I:



(substituted by a CO₂K or CO₂R group and is further).

wherein:

X is an electrophilic leaving group;

Y is selected from NH-Prot, O-Prot, S-Prot, NO₂, NHOH, N₃, NHR, NRR, N=NR, N(O)RR, NHSO₂R, N=NPhR, SR or SSR, where Prot represents a protecting group;

A and B collectively represent a fused benzene or pyrrole ring (in either orientation), which is optionally substituted by up to respectively $\frac{1}{4}$ or $\frac{1}{2}$ group(s) independently selected from R, OH, OR, halo, nitro, amino, Me₃Sn, CO₂H, CO₂R;

R₁ is a nitrogen protecting group, where if Y includes a protecting group, these protecting groups are orthogonal;

R₂ and R₇ are independently selected from H, R, OH, OR, halo, nitro, amino, Me₃Sn;

wherein R is selected from:

(a) a lower alkyl group having 1 to 10 carbon atoms,

(b) an aralkyl group (i.e. an alkyl group with one or more aryl substituents), preferably of up to 12 carbon atoms;

the alkyl group of (a) or (b) optionally containing one or more carbon-carbon double or triple bonds, which may form part of a conjugated system; and

(c) an aryl group, preferably of up to 12 carbon atoms;

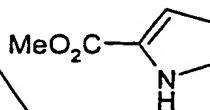
and wherein:

R is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally

ART 34 AMDT

contains one or more hetero atoms, which may form part of, or be, a functional group;

5 except that when R₁ is Boc, Y is NO₂, X is Cl, and R₂ and R₃ are H, then A and B do not collectively represent either an unsubstituted benzene ring or:

Sub
A2

10 *combinatorial unit*

2. A [compound] according to claim 1, wherein R is independently selected from a lower alkyl group having 1 to 10 carbon atoms, or an aralkyl group, preferably of up to 12 carbon atoms, or an aryl group, preferably of up to 12 carbon atoms, optionally substituted by one or more halo, hydroxy, amino, or nitro groups.

15

3. A compound according to claim 2, wherein R is independently selected from lower alkyl groups having 1 to 10 carbon atoms optionally substituted by one or more halo, hydroxy, amino, or nitro groups.

20 Sub
B1

4. A [compound] according to claim 3, wherein R is an unsubstituted straight or branched chain alkyl group, having 1 to 10 carbon atoms.

25

5. A [compound] according to any one of the preceding claims, wherein R₁ has a carbamate functionality where it binds to the nitrogen atom of the CPI.

30

combinatorial unit
6. A [compound] according to any one of the preceding claims, wherein Y is NH-Prot, O-Prot or S-Prot.

35

combinatorial unit
7. A [compound] according to claim 6, wherein Y is NH-Prot.

combinatorial unit
8. A [compound] according to any one of the preceding claims, wherein X is either halogen or OSO₂R.

ART 34 AMDT

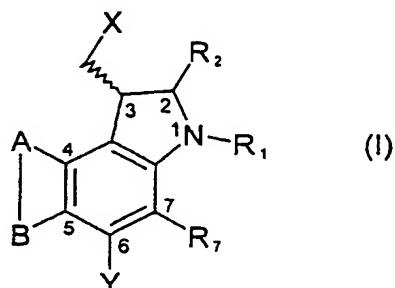
Sub
A3~~combinatorial unit~~

56

9. A [compound] according to any one of the preceding claims, wherein the 4,5 fused ring is substituted by $-CO_2R$ in the 2 or 3 position if it is a benzene ring, or in the 2 position if it is a pyrrole ring.

5

10. The use of compounds of formula I:



wherein:

10 X is an electrophilic leaving group;

10 Y is selected from NH_2 , $NH\text{-Prot}$, OH , $O\text{-Prot}$, SH , $S\text{-Prot}$, NO_2 , $NHOH$, N_3 , NHR , NRR , $N=NR$, $N(O)RR$, $NHSO_2R$, $N=NPhR$, SR or SSR , where Prot represents a protecting group;

15 A and B collectively represent a fused benzene or pyrrole ring (in either orientation), which is optionally substituted by up to respectively 4 or 2 groups independently selected from R, OH, OR, halo, nitro, amino, Me_3Sn , CO_2H , CO_2R ;

20 R₁ is a nitrogen protecting group, where if Y includes a protecting group, these protecting groups are orthogonal;

20 R₂ and R₇ are independently selected from H, R, OH, OR, halo, nitro, amino, Me_3Sn ;

25 wherein R is selected from:

25 (a) a lower alkyl group having 1 to 10 carbon atoms,

30 (b) an aralkyl group (i.e. an alkyl group with one or more aryl substituents), preferably of up to 12 carbon atoms;

30 the alkyl group of (a) or (b) optionally containing one or more carbon-carbon double or triple bonds, which may form part of a conjugated system; and

30 (c) an aryl group, preferably of up to 12 carbon atoms;

and wherein:

R is optionally substituted by one or more halo, hydroxy, amino, or nitro groups, and optionally contains one or more hetero atoms, which may form part of, or be, a functional group;

5

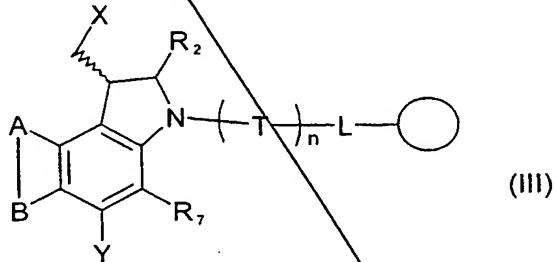
in methods of combinatorial chemistry synthesis, wherein the compound of formula I is joined to a solid support by a chain comprising at least one combinatorial unit.

*Sub
ptl*

10 11. The use according to claim 10, wherein Y is NH₂, NH-Prot, OH, O-Prot, SH, or S-Prot.

12. A compound of formula III:

EPOQUE DOCUMENT



15 wherein:

X, Y, A, B, R₂ and R, are as defined in claim 10;

T is a combinatorial unit;

n is a positive integer, where if n is greater than 1, each T may be different;

L is a linking group, or less preferably a single bond;

and,

O is a solid support.

25

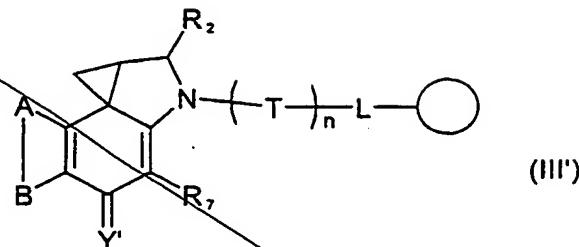
AMT 34 AMDT

58

13. A compound of formula III':

Sub

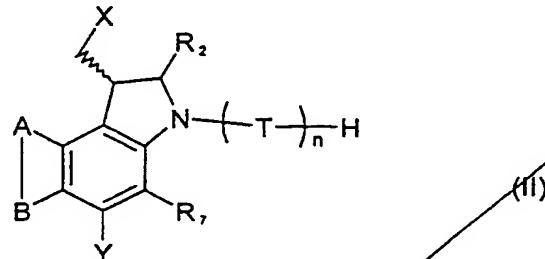
B1



wherein:

5 A, B, R₂, R₁, T, n, L and O are as defined in claim 12; and,
Y' is NH, O or S.

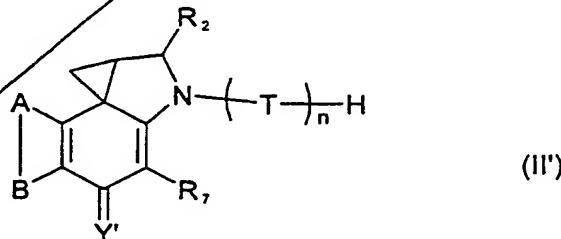
14. A compound of formula II'.



wherein:

X, Y, A, B, R₂, R₁, T and n are as defined in claim 12.

15 15. A compound of formula II':



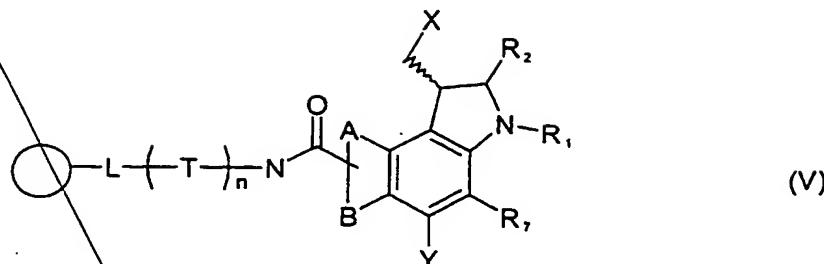
wherein:

A, B, T, n, R₂, R₁ and Y' are as defined in claim 13.]

20

ART 34 AMDR

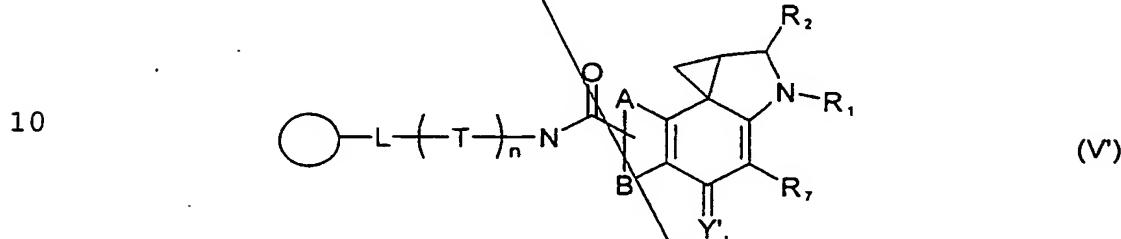
14 16. A compound of formula V:



wherein:

5 A, B, Y, R₁, R₂, and R₇, are as defined in claim 10; and,
 T, n, L and O are as defined in claim 12.

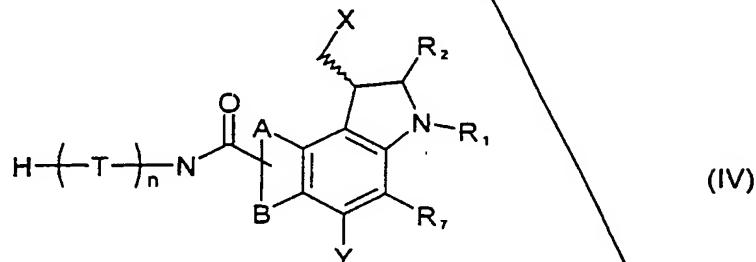
15 17. A compound of formula V':



wherein:

A, B, R₁, R₂, and R₇, are as defined in claim 10; and,
 T, n, L, Y' and O are as defined in claim 13.

15 16 18. A compound of formula IV:



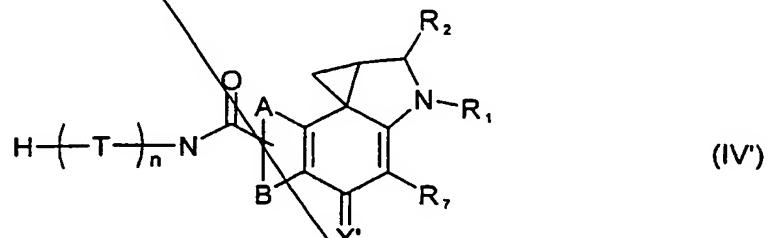
wherein:

20 A, B, X, Y, R₁, R₂ and R₇, are as defined in claim 10; and,
 T and n are as defined in claim 12.

60

1719. A compound of formula IV' :

Sub
A4



wherein:

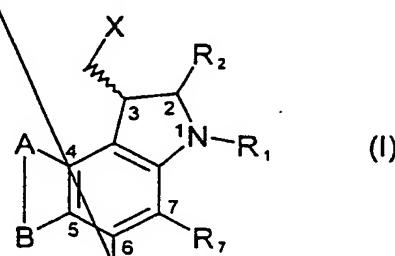
5 A, B, T, n, R₁, R₂ and R, are as defined in claim 16; and,
X' is NH, O or S.

1820. A method of preparing a compound according to claim 12 by reaction of a compound of formula VI:

10



Sub
βι



15

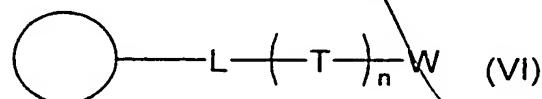
wherein:

A, B, R₂, R₇, T, n, L and O are as defined in claim 12; and.

W is H or an atom or group for providing a functional group capable of reaction with -NH_2 .

20

1921. A method of preparing a compound according to claim 16, by reaction of a compound of formula VI:



61

with a compound of formula I according to claim 10, where the 4,5 fused ring is substituted by $\text{-CO}_2\text{R}$ in the 2 or 3 position if it is a benzene ring, or in the 2 position if it is a pyrrole ring, and wherein:

- 4 -

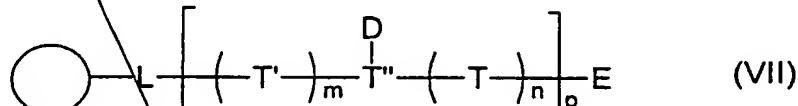
5

~~T, n, L and O are as defined in claim 16; and,
W is H or an atom or group for providing a functional
group capable of reaction with -COOH.~~

10 2022. A compound of formula VII:

sub
AS

wherein:



O, T, and L are as defined in [the] claim 12;
n and m are positive integers, or one of them may be
zero;

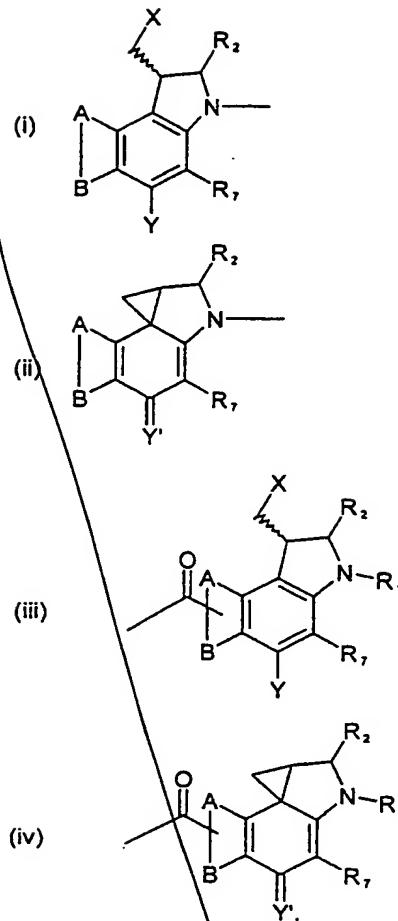
T' is a combinatorial unit, where each T' may be different if m is greater than 1;

T" is a combinatorial unit which provides a site for the attachment of D;

D is selected from:

P is selected from:

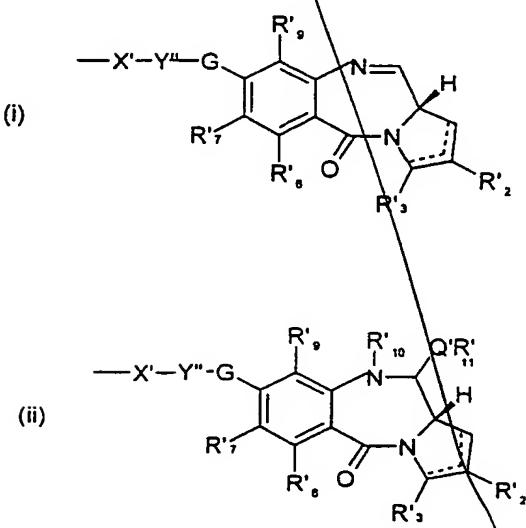
(a)

SJB
IAS

wherein A, B, Y, R₁, R₂ and R₇ are as defined in claim 11 and Y' is NH, NR, O or S;

5

(b)



ART 34 AMDT

wherein:

Sub AS

X' is selected from CO, NH, S, or O;

G is O, S, NH, or a single bond;

5 R'₂ and R'₃ are independently selected from: H, R, OH, OR, =O, =CH-R, =CH₂, CH₂-CO₂R, CH₂-CO₂H, CH₂-SO₂R, O-SO₂R, CO₂R, COR and CN, and there is optionally a double bond between C₂ and C₃;

10 R'₆, R'₇, and R'₈, are independently selected from H, R, OH, OR, halo, nitro, amino, Me₃Sn;

R'₁₁ is either H or R;

Q' is S, O or NH;

R'₁₀ is a nitrogen protecting group;

Y" is a divalent group such that HY = R;

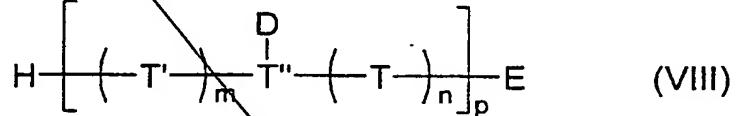
15 p is a positive integer, where if p is greater than 1, for each repeating unit, the meaning of T, T', T" and D and the values of n and m are independently selected; and,

E is selected from the same possibilities as D;

20 provided that at least one group D or E is selected from (a).

Sub

2123. A compound of formula (VIII):



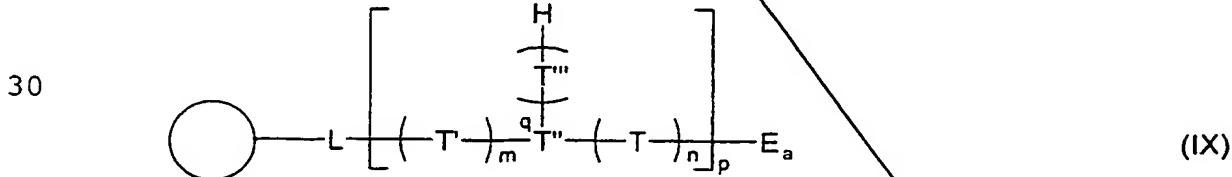
B1

25 wherein:

L, T, T', T'', D, E, n, m and p are as defined in claim 22.

20

2124. A compound of formula (IX):



ART 34 AMDT

wherein:

O, L, T, T', T'', n, m and p are as defined in claim 22;
 T''' is a combinatorial unit;

5

q is a positive integer, where if q is greater than 1,
 each T''' may be different; and,

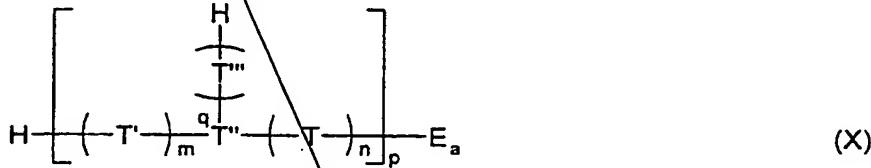
E_a is selected from the group (a) of E as defined in
 claim 22;

wherein:

10

if p is greater than 1, for each repeating unit the
 meaning of T, T', T'', T''' and the values of n, m and q are
 independently selected.

Sub
B
5.23 25. A compound of formula (X):



wherein:

L, T, T', T'', T''', E_a, n, m, p and q are as defined in claim
 22.
 24.

20 2426. A collection of compounds all of which are represented by
 either:

- (i) formula III as defined in claim 12;
- (ii) formula III' as defined in claim 13;
- ~~(iii) formula II as defined in claim 14;~~
- ~~(iv) formula II' as defined in claim 15;~~
- ~~(v) formula V as defined in claim 16;~~
- ~~(vi) formula V' as defined in claim 17;~~
- ~~(vii) formula IV as defined in claim 18;~~
- ~~(viii) formula IV' as defined in claim 19;~~
- ~~(ix) formula VII as defined in claim 20;~~
- ~~(x) formula VIII as defined in claim 21;~~
- ~~(xi) formula IX as defined in claim 22; or,~~
- ~~(xii) formula X as defined in claim 23.~~

PART 34 AMENDT

~~25.~~ A method of preparing a collection of compounds as defined in claim ~~24~~ ²⁶.

~~26.~~ A method of screening compounds of:

5

- (i) formula II as defined in claim 14;
- (ii) formula II' as defined in claim 15,]
- (iii) formula IV as defined in claim ¹⁶ 18;
- (iv) formula IV' as defined in claim ¹⁷ 19;
- (v) formula VIII as defined in claim ²¹ 23; or,
- (vi) formula X as defined in claim ²³ 25;

to discover biologically active compounds.

~~27.~~ 29. The use of a compound of:

15

- (i) formula II as defined in claim 14;
- (ii) formula II' as defined in claim 15,]
- (iii) formula IV as defined in claim ¹⁶ 18;
- (iv) formula IV' as defined in claim ¹⁷ 19;
- (v) formula VIII as defined in claim ²¹ 23; or
- (vi) formula X as defined in claim ²³ 25;

20

in the manufacture of a cytotoxic, antibiotic, antiparasitic or antiviral therapeutic composition.

~~28.~~ 30. The use of a compound of:

25

- (i) formula III as defined in claim 12;
- (ii) formula III' as defined in claim 13;
- (iii) formula V as defined in claim ¹⁴ 16;
- (iv) formula V' as defined in claim ¹⁵ 17;
- (v) formula VII as defined in claim ²⁰ 22; or,
- (vi) formula IX as defined in claim ²² 24;

30

in a method of diagnosis.

~~29.~~ 31. The use of a compound of:

35

- (i) formula II as defined in claim 14;
- (ii) formula II' as defined in claim 15,]
- (iii) formula IV as defined in claim ¹⁶ 18;
- (iv) formula IV' as defined in claim ¹⁷ 19;
- (v) formula VIII as defined in claim ²¹ 23; or,

66

23

(iv) formula X as defined in claim 25;
in a method of target validation.

36

22. The use of a compound of:

5

~~(i) formula II as defined in claim 14;~~
~~(ii) formula II' as defined in claim 15,~~
~~(iii) formula IV as defined in claim 16;~~
~~(iv) formula IV' as defined in claim 17;~~
~~(v) formula VIII as defined in claim 21; or,~~
~~(vi) formula X as defined in claim 23;~~

10

in a method of functional genomics.

add
B1